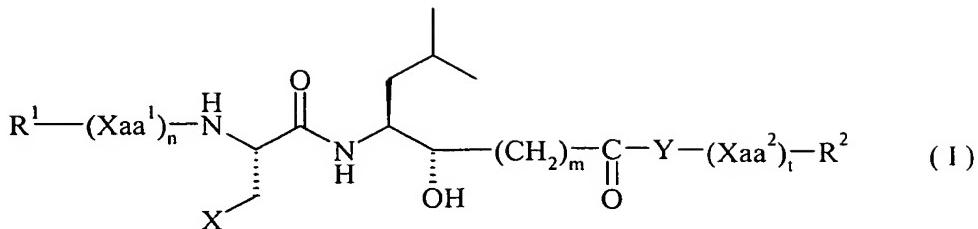


**What is Claimed is:**

1. A compound of the formula



5 wherein

$R^1$  represents a hydrogen atom or a group selected from the formulae (A) and (B)

(A)  $R^3\text{-CO-(CH}_2\text{)}_s\text{-CO-}$ ,

in which

$R^3$  represents  $R^4\text{-Z}^1$  with  $Z^1$  being O or  $NR^5$ ,  $R^4$ ,  $R^5$  being each independently

10 hydrogen or  $C_{1-6}$  alkyl, and

$s$  is an integer from 1 to 4;

(B)  $R^6\text{-CO-}$

in which

$R^6$  represents a  $C_{1-6}$  alkyl group, a  $C_{1-6}$  haloalkyl group or a phenyl group being

15 optionally substituted by one or more substituents selected from the group consisting

of halogen,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy,  $C_{1-6}$  haloalkyl,  $C_{1-6}$  haloalkoxy, amino,  $C_{1-6}$

alkylamino, di- $(C_{1-6}$  alkyl)-amino,  $C_{1-6}$  alkoxy carbonyl, formyl, carboxy, hydroxy,

cyanato,  $SO_3H$  and nitro;

$Xaa^1$  each independently represent an amino acid or the N-alkylated derivative thereof, at least one of which being N-terminally linked to  $R^1$ ;

$n$  is 0 or an integer from 1 to 3;

$Y$  represents a single bond, or if  $t$  is 0, a spacer group selected from  $-O-$  and  $-NH-$ ;

$R^2$  represents a hydroxy group or a group of formula (C)

(C)  $-Z^2\text{-R}^7$

25 in which

$Z^2$  represents O or  $NR^8$ ,

$R^7$  represents

(a) a  $C_{1-6}$  alkyl group being optionally substituted by one or more substituents selected from the group consisting of halogen,  $C_{3-8}$ -cycloalkyl, phenyl,  $C_{1-6}$

alkoxy, C<sub>1-6</sub> haloalkoxy, amino, C<sub>1-6</sub> alkylamino, di-(C<sub>1-6</sub> alkyl)-amino, C<sub>1-6</sub> alkoxy carbonyl, formyl, carboxy, hydroxy, cyano and nitro, or

- (b) a phenyl group being optionally substituted by one or more substituents selected from the group consisting of halogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> haloalkoxy, amino, C<sub>1-6</sub> alkylamino, di-(C<sub>1-6</sub> alkyl)-amino, C<sub>1-6</sub> alkanoylamino, C<sub>1-6</sub> alkoxy carbonyl, formyl, carboxy, hydroxy, cyano and nitro,

R<sup>8</sup> represents a hydrogen atom or C<sub>1-6</sub> alkyl group;

- Xaa<sup>2</sup> each independently represent an amino acid or the N-alkylated derivative thereof, in which the amino group of the N-terminally amino acid may have been replaced by Y, and one of which being C-terminally linked to R<sup>2</sup>;
- t is 0 or an integer from 1 to 3;
- X is selected from ethyl, thiomethyl and C<sub>3</sub>-C<sub>8</sub>-cycloalkyl; and
- m is 1 or 2,
- or a pharmaceutically acceptable salt or solvate thereof.

2. A compound according to claim 1, wherein

- Xaa<sup>1</sup> each independently is selected from the group of amino acids consisting of: Leu, Ile, Nva, Abu, Glu, Tle, Phg, Val, allo-Ile, Cpa, Met, Thr, Chg, S-Methylcystein, D-Leu, Nip, CBA (Cyanobutyric acid) and Allyl-Glycin; and
- n is 1 or 2.

3. A compound according to claim 1, wherein

- Xaa<sup>2</sup> each independently is selected from the group of amino acids consisting of: Val, Ala, Leu, Ile, Nva, Abu, Cha, Tle, Phg, Glu, Nle, Phe, His, Ser, Cpa, and Asp; and
- s is 1 or 2.

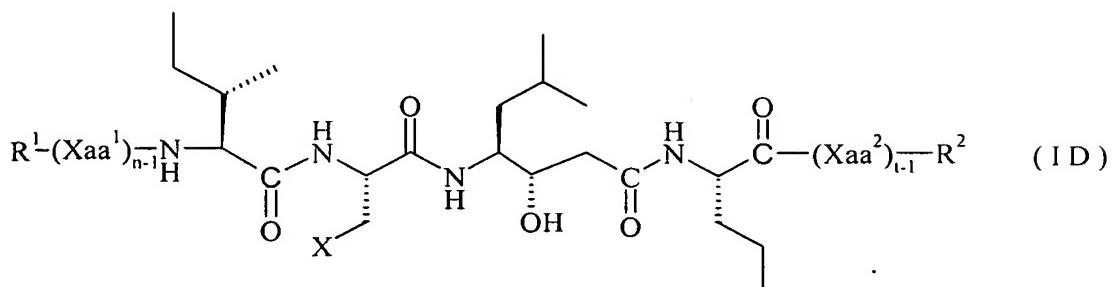
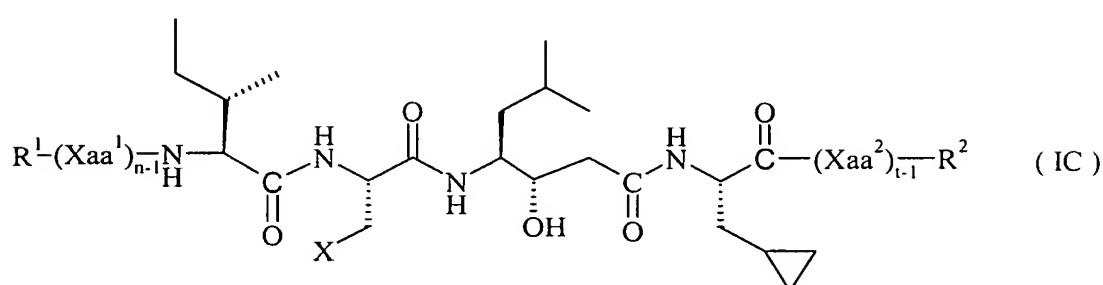
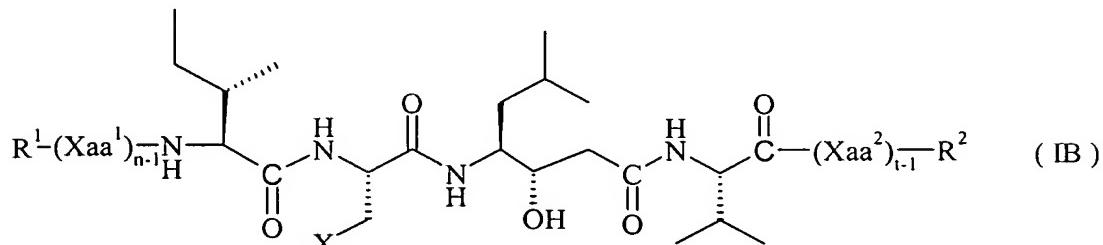
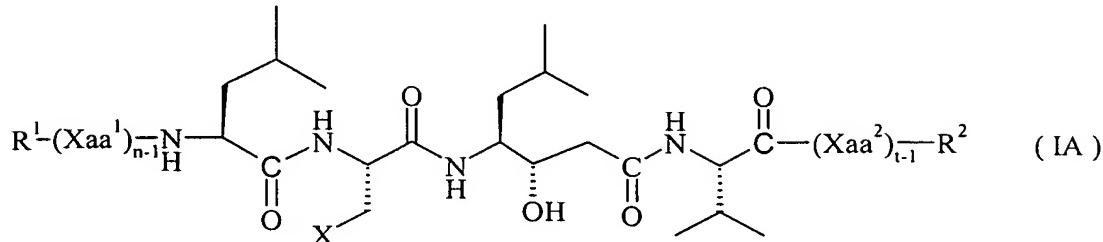
4. A compound according to claim 2, wherein

- Xaa<sup>2</sup> each independently is selected from the group of amino acids consisting of: Val, Ala, Leu, Ile, Nva, Abu, Cha, Tle, Phg, Glu, Nle, Phe, His, Ser, Cpa, and Asp; and
- s is 1 or 2.

5. A compound according to claim 1, wherein

m represents 1.

6. A compound selected from the formulae (IA) through (ID):



10 in which  $R^1$ ,  $R^2$ ,  $Xaa^1$ ,  $Xaa^2$ ,  $n$  and  $t$  are as defined in claim 1, and

$X$  represents ethyl, thiomethyl or cyclopropyl; or a pharmaceutically acceptable salt or solvate thereof.

7. A pharmaceutical composition comprising a compound according to claim 1 or a pharmaceutically acceptable salt or solvate thereof; and a pharmaceutically acceptable carrier or diluent.

5 8. A pharmaceutical composition comprising a compound according to claim 6 or a pharmaceutically acceptable salt or solvate thereof; and a pharmaceutically acceptable carrier or diluent.

9. A pharmaceutical composition according to claim 7, which further comprises an  
10 active ingredient selected from the group consisting of: atorvastatin, besipirdine,  
cevimeline, donepezil, eptastigmine, galantamine, glatiramer acetate, icopezil, ipidacrine,  
lazabemide, linopirdine, lubeluzole, memantine, metrifonate, milameline, nefiracetam,  
nimodipine, octreotide, rasagiline, rivastigmine, sabcomeline, sabeluzole, tacrine, valproate  
sodium, velnacrine, YM 796, Phenserine and zanapezil.

15 10. A pharmaceutical composition according to claim 7, which further comprises an  
antiinflammtory agent selected from the group consisting of: rofecoxib, celecoxib,  
valdecoxib, nitroflurbiprofen, IQ-201, NCX-2216, CPI-1189, Colostrinin, ibuprofen,  
indomethacin, me洛xicam, sulindac sulphide.

20 11. A pharmaceutical composition according to claim 9, which further comprises an  
antiinflammtory agent selected from the group consisting of: rofecoxib, celecoxib,  
valdecoxib, nitroflurbiprofen, IQ-201, NCX-2216, CPI-1189, Colostrinin, ibuprofen,  
indomethacin, me洛xicam, sulindac sulphide.

25 12. A pharmaceutical composition according to claim 7, which further comprises a nerve  
growth factor or a nerve growth modulator selected from the group consisting of: ABS-205,  
Inosine, KP-447, leteprinim, MCC-257, NS-521, and xaliproden.

30 13. A pharmaceutical composition according to claim 9, which further comprises a nerve  
growth factor or a nerve growth modulator selected from the group consisting of: ABS-205,  
Inosine, KP-447, leteprinim, MCC-257, NS-521, and xaliproden.

14. A pharmaceutical composition according to claim 11, which further comprises a nerve growth factor or nerve growth modulator selected from the group consisting of: ABS-205, Inosine, KP-447, leteprinim, MCC-257, NS-521, and xaliproden.

5 15. A method of treating or preventing a disease or condition in a patient, comprising administering the compound according to claim 1, wherein the disease or condition is selected from the group consisting of: Alzheimer's disease, Down's syndrome, MCI ("Mild Cognitive Impairment"), Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, Cerebral Amyloid Angiopathy, Traumatic Brain injury, Stroke, Dementia,  
10 Parkinson's Disease and Parkinson's Syndrome, and central or peripheral amyloid diseases.

16. A method of treating or preventing a disease or condition in a patient, comprising administering the pharmaceutical composition according to claim 7, wherein the disease or condition is selected from the group consisting of: Alzheimer's disease, Down's syndrome, MCI ("Mild Cognitive Impairment"), Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, Cerebral Amyloid Angiopathy, Traumatic Brain injury, Stroke, Dementia, Parkinson's Disease and Parkinson's Syndrome, and central or peripheral amyloid diseases.  
15

17. A method for inhibiting β-secretase activity, comprising exposing said β-secretase to an effective inhibitory amount of a compound of claim 1.  
20

18. A method for inhibiting β-secretase activity, comprising exposing said β-secretase to an effective inhibitory amount of a compound of formula IA of claim 6.